

CLAIMS

What is claimed is:

1. A compound of formula (I):

Sag-Tag

(I)

or a stereoisomer or a pharmaceutically acceptable salt form thereof, wherein:

Sag is R^A or R^B ;

wherein

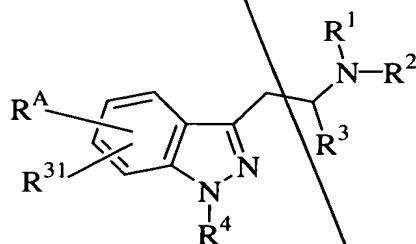
R^A is $-NR^{12}R^{13}$;

R^B is $-NR^{12}R^{13}$ or aryl substituted with 0-5 R^{33} ; and

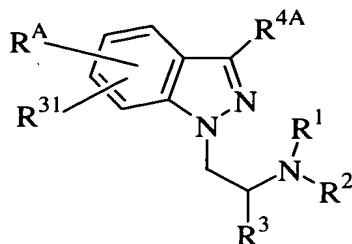
aryl is phenyl, pyridyl, or naphthyl; and

Tag is a heterocyclic serotonin receptor ligand template selected from:

1)



2)



3)

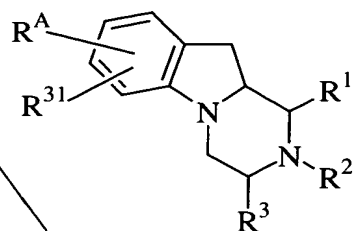
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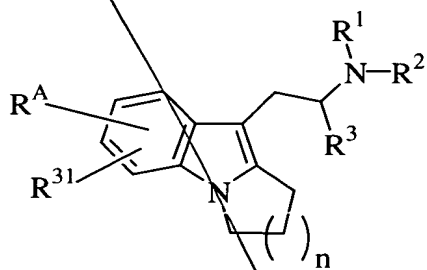
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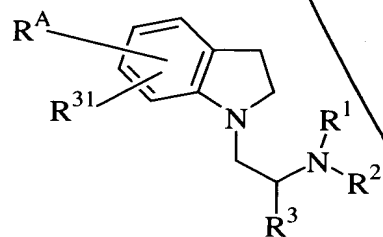
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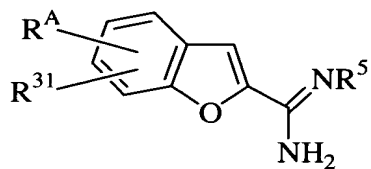
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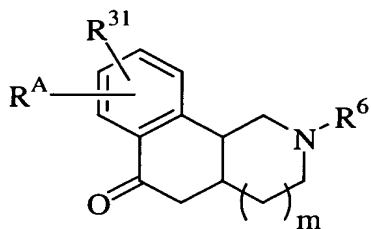
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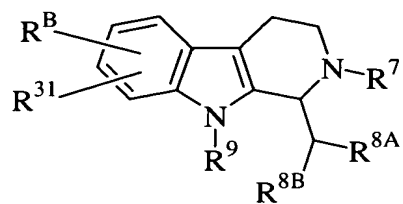


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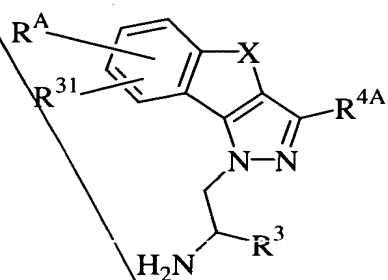


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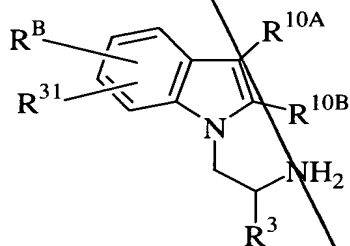




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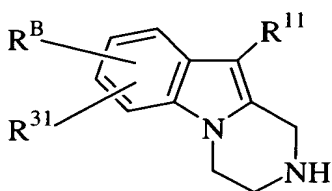


10)



and

11)



wherein:

X is -CH=CH-, -CR¹R²-, or -CR¹R²-CR¹R²-;

n is 1, 2, or 3;

m is 0 or 1;

R¹ is H or C₁₋₄ alkyl;

R² is H or C₁₋₄ alkyl;

R³ is H or C₁₋₄ alkyl;

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~~R⁴ is H or C₁₋₄ alkyl;
R^{4A} is H, C₁₋₄ alkyl or C₁₋₄ alkyloxy;
R⁵ is H, -OH or C₁₋₄ alkyloxy;
R⁶ is H, C₁₋₆ alkyl, C₂₋₆ alkenyl, or C₁₋₂ alkyl substituted
5 with R^{6A};
R^{6A} is phenyl, cyclopropyl, cyclobutyl, cyclopentyl or
cyclohexyl;
R⁷ is H, C₁₋₆ alkyl, C₂₋₆ alkenyl, cyclopropyl, cyclobutyl,
cyclopentyl or cyclohexyl;
10 R^{8A} is a 5-10 membered heterocyclic ring system containing
from 1-3 heteroatoms selected from the group
benzimidazolyl, benzimidazoliny, benztriazolyl,
benzisoxazolyl, benzisoxazoliny, benzoxazolyl,
benzoxazoliny, benzthiazolyl, benzisothiazolyl,
15 indolyl, indoliny, isoindoliny, indazolyl,
isatinoyl, isoxazolopyridiny, isothiazolopyridiny,
thiazolopyridiny, oxazolopyridiny, oxindolyl,
oxazolidiny, imidazolopyridiny, and
pyrazolopyridiny;
20 wherein said heterocyclic ring system is substituted
with 0-2 R⁴¹;
R^{8B} is H, C₁₋₆ alkyl, C₂₋₆ alkenyl, cyclopropyl, cyclobutyl,
cyclopentyl or cyclohexyl;
R⁹ is H, C₁₋₆ alkyl, C₂₋₆ alkenyl, cyclopropyl, cyclobutyl,
25 cyclopentyl or cyclohexyl;
R^{10A} and R^{10b}, at each occurrence, are independently
selected from
H, -OH, halo, -CF₃, -OCF₃, C₁₋₆ alkyl, C₁₋₆ alkyloxy-,
cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl;
30 R¹¹ is H, C₁₋₆ alkoxy or C₁₋₆ alkyl-S-;
R¹² is aryl substituted with 0-5 R³³;
R¹³ is selected from
H, C₁₋₄ alkyl, C₂₋₄ alkenyl, and C₂₋₄ alkynyl;
alternatively, R¹² and R¹³ join to form a 5- or 6-membered
35 ring optionally substituted with -O- or -N(R¹⁴)-;~~

alternatively, R¹² and R¹³ when attached to N may be combined to form a 9- or 10-membered bicyclic heterocyclic ring system containing from 1-3 heteroatoms selected from the group consisting of N, O, and S, wherein said bicyclic heterocyclic ring system is unsaturated or partially saturated, wherein said bicyclic heterocyclic ring system is substituted with 0-3 R¹⁶;

R¹⁴ is H or C₁₋₄ alkyl;

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10 R¹⁶, at each occurrence, is independently selected from H, OH, halo, CN, NO₂, CF₃, SO₂R⁴⁵, NR⁴⁶R⁴⁷, -C(=O)H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₁₋₄ haloalkyl, C₁₋₃ haloalkyl-oxy-, and C₁₋₃ alkyloxy-;

15 R³¹, at each occurrence, is independently selected from H, -OH, halo, -CN, -NO₂, -CF₃, -OCF₃, C₁₋₆ alkyl, C₁₋₄ alkyl-C(=O)-, C₁₋₄ alkyloxy-, C₁₋₄ alkylthio-, C₁₋₄ alkyl-S(=O)-, and C₁₋₄ alkyl-SO₂-;

20 R³³, at each occurrence, is independently selected from H, OH, halo, CN, NO₂, CF₃, SO₂R⁴⁵, NR⁴⁶R⁴⁷, -C(=O)H, phenyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, C₁₋₄ haloalkyl, C₁₋₄ haloalkyl-oxy-, C₁₋₄ alkyloxy-, C₁₋₄ alkylthio-, C₁₋₄ alkyl-C(=O)-, C₁₋₄ alkyl-C(=O)NH-, C₁₋₄ alkyl-OC(=O)-, C₁₋₄ alkyl-C(=O)O-, C₃₋₆ cycloalkyl-oxy-,
25 C₃₋₆ cycloalkylmethyl-oxy-;
C₁₋₆ alkyl substituted with OH, methoxy, ethoxy, propoxy, butoxy, -SO₂R⁴⁵, -NR⁴⁶R⁴⁷, NR⁴⁶R⁴⁷C(=O)-, or (C₁₋₄ alkyl)CO₂-; and
C₂₋₆ alkenyl substituted with OH, methoxy, ethoxy,
30 propoxy, butoxy, -SO₂R⁴⁵, -NR⁴⁶R⁴⁷, NR⁴⁶R⁴⁷C(=O)-, or (C₁₋₄ alkyl)CO₂-;

R⁴¹, at each occurrence, is independently selected from H, -OH, F, Cl, -CF₃, -OCF₃, methyl, ethyl, methoxy, and ethoxy;

1 R⁴⁵ is C₁₋₄ alkyl;

R⁴⁶, at each occurrence, is independently selected from H and C₁₋₄ alkyl; and

5 R⁴⁷, at each occurrence, is independently selected from H, C₁₋₄ alkyl, -C(=O)NH(C₁₋₄ alkyl), -SO₂(C₁₋₄ alkyl), -C(=O)O(C₁₋₄ alkyl), -C(=O)(C₁₋₄ alkyl), and -C(=O)H.

2. A compound of Claim 1 of formula (I), wherein:

5-4
A4
10 R^A is -NR¹²R¹³;

R^B is -NR¹²R¹³;

phenyl- substituted with 0-5 fluoro;

naphthyl- substituted with 0-3 R³³;

15 2-(H₃CCH₂C(=O))-phenyl- substituted with R³³;

2-(H₃CC(=O))-phenyl- substituted with R³³;

2-(HC(=O))-phenyl- substituted with R³³;

2-(H₃CCH(OH))-phenyl- substituted with R³³;

2-(H₃CCH₂CH(OH))-phenyl- substituted with R³³;

20 2-(HOCH₂)-phenyl- substituted with R³³;

2-(HOCH₂CH₂)-phenyl- substituted with R³³;

2-(H₃COCH₂)-phenyl- substituted with R³³;

2-(H₃COCH₂CH₂)-phenyl- substituted with R³³;

2-(H₃CCH(OMe))-phenyl- substituted with R³³;

25 2-(H₃COC(=O))-phenyl- substituted with R³³;

2-(HOCH₂CH=CH)-phenyl- substituted with R³³;

2-((MeOC=O)CH=CH)-phenyl- substituted with R³³;

2-(methyl)-phenyl- substituted with R³³;

2-(ethyl)-phenyl- substituted with R³³;

30 2-(i-propyl)-phenyl- substituted with R³³;

2-(F₃C)-phenyl- substituted with R³³;

2-(NC)-phenyl- substituted with R³³;

2-(H₃CO)-phenyl- substituted with R³³;

2-(fluoro)-phenyl- substituted with R³³;

2-(chloro)-phenyl- substituted with R^{33} ;
 3-(NC)-phenyl- substituted with R^{33} ;
 3-(H₃CO)-phenyl- substituted with R^{33} ;
 3-(fluoro)-phenyl- substituted with R^{33} ;
 5 3-(chloro)-phenyl- substituted with R^{33} ;
 4-(NC)-phenyl- substituted with R^{33} ;
 4-(fluoro)-phenyl- substituted with R^{33} ;
 4-(chloro)-phenyl- substituted with R^{33} ;
 4-(H₃CS)-phenyl- substituted with R^{33} ;
 10 4-(H₃CO)-phenyl- substituted with R^{33} ;
 4-(ethoxy)-phenyl- substituted with R^{33} ;
 4-(i-propoxy)-phenyl- substituted with R^{33} ;
 4-(i-butoxy)-phenyl- substituted with R^{33} ;
 4-(H₃CCH₂CH₂C(=O))-phenyl- substituted with R^{33} ;
 15 4-((H₃C)₂CHC(=O))-phenyl- substituted with R^{33} ;
 4-(H₃CCH₂C(=O))-phenyl- substituted with R^{33} ;
 4-(H₃CC(=O))-phenyl- substituted with R^{33} ;
 4-(H₃CCH₂CH₂CH(OH))-phenyl- substituted with R^{33} ;
 4-((H₃C)₂CHCH(OH))-phenyl- substituted with R^{33} ;
 20 4-(H₃CCH₂CH(OH))-phenyl- substituted with R^{33} ;
 4-(H₃CCH(OH))-phenyl- substituted with R^{33} ;
 4-(cyclopropyloxy)-phenyl- substituted with R^{33} ;
 4-(cyclobutyloxy)-phenyl- substituted with R^{33} ; or
 4-(cyclopentyloxy)-phenyl- substituted with R^{33} ;

25 R^{12} is selected from

phenyl- substituted with 0-5 fluoro;
 naphthyl- substituted with 0-3 R^{33} ;
 2-(H₃CCH₂C(=O))-phenyl- substituted with R^{33} ;
 30 2-(H₃CC(=O))-phenyl- substituted with R^{33} ;
 2-(HC(=O))-phenyl- substituted with R^{33} ;
 2-(H₃CCH(OH))-phenyl- substituted with R^{33} ;
 2-(H₃CCH₂CH(OH))-phenyl- substituted with R^{33} ;
 2-(HOCH₂)-phenyl- substituted with R^{33} ;

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- 5 2-(HOCH₂CH₂)-phenyl- substituted with R³³;
2-(H₃COCH₂)-phenyl- substituted with R³³;
2-(H₃COCH₂CH₂)-phenyl- substituted with R³³;
2-(H₃CCH(OMe))-phenyl- substituted with R³³;
2-(H₃COOC(=O))-phenyl- substituted with R³³;
2-(HOCH₂CH=CH)-phenyl- substituted with R³³;
2-((MeOC=O)CH=CH)-phenyl- substituted with R³³;
2-(methyl)-phenyl- substituted with R³³;
2-(ethyl)-phenyl- substituted with R³³;
10 2-(i-propyl)-phenyl- substituted with R³³;
2-(F₃C)-phenyl- substituted with R³³;
2-(NC)-phenyl- substituted with R³³;
2-(H₃CO)-phenyl- substituted with R³³;
15 2-(fluoro)-phenyl- substituted with R³³;
2-(chloro)-phenyl- substituted with R³³;
3-(NC)-phenyl- substituted with R³³;
3-(H₃CO)-phenyl- substituted with R³³;
3-(fluoro)-phenyl- substituted with R³³;
3-(chloro)-phenyl- substituted with R³³;
20 4-(NC)-phenyl- substituted with R³³;
4-(fluoro)-phenyl- substituted with R³³;
4-(chloro)-phenyl- substituted with R³³;
4-(H₃CS)-phenyl- substituted with R³³;
4-(H₃CO)-phenyl- substituted with R³³;
25 4-(ethoxy)-phenyl- substituted with R³³;
4-(i-propoxy)-phenyl- substituted with R³³;
4-(i-butoxy)-phenyl- substituted with R³³;
4-(H₃CCH₂CH₂C(=O))-phenyl- substituted with R³³;
4-((H₃C)₂CHC(=O))-phenyl- substituted with R³³;
30 4-(H₃CCH₂C(=O))-phenyl- substituted with R³³;
4-(H₃CC(=O))-phenyl- substituted with R³³;
4-(H₃CCH₂CH₂CH(OH))-phenyl- substituted with R³³;
4-((H₃C)₂CHCH(OH))-phenyl- substituted with R³³;

4-(H₃CCH₂CH(OH))-phenyl- substituted with R³³;
4-(H₃CCH(OH))-phenyl- substituted with R³³;
4-(cyclopropyloxy)-phenyl- substituted with R³³;
4-(cyclobutyloxy)-phenyl- substituted with R³³; and
5 4-(cyclopentyloxy)-phenyl- substituted with R³³;

R¹³ is H, methyl, or ethyl;

Sub
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10 alternatively, R¹² and R¹³ join to form a 5- or 6-membered
ring selected from pyrrolyl, pyrrolidinyl, imidazolyl,
piperidinyl, piperizinyl, methylpiperizinyl, and
morpholinyl;

15 alternatively, R¹² and R¹³ when attached to N may be
combined to form a 9- or 10-membered bicyclic
heterocyclic ring system containing from 1-3
heteroatoms selected from the group consisting of N,
O, and S; wherein said bicyclic heterocyclic ring
system is selected from indolyl, indolinyl, indazolyl,
20 benzimidazolyl, benzimidazolinyl, and benztriazolyl;
wherein said bicyclic heterocyclic ring system is
substituted with 0-1 R¹⁶;

R¹⁶, at each occurrence, is independently selected from
25 H, OH, F, Cl, CN, NO₂, methyl, ethyl, methoxy, ethoxy,
trifluoromethyl, and trifluoromethoxy; and

R³¹, at each occurrence, is independently selected from
H, -OH, F, Cl, -CF₃, -OCF₃, methyl, ethyl,
30 methyl-C(=O)-, ethyl-C(=O)-, methoxy, ethoxy,
methylthio-, ethylthio-, methyl-S(=O)-, ethyl-S(=O)-,
methyl-SO₂-, and ethyl-SO₂-;

R³³, at each occurrence, is independently selected from
35 H, F, Cl, -CH₃, -OCH₃, -CF₃, -OCF₃, -CN, and -NO₂.

3. A compound of Claim 2 of Formula (I) wherein:

R^A is selected from

5 phenyl-NH-, (1-naphthyl)-NH-,
(2-naphthyl)-NH-, (2-[1,1'-biphenyl])-NH-,
(3-[1,1'-biphenyl])-NH-, (4-[1,1'-biphenyl])-NH-,
(2-F-phenyl)-NH-, (2-Cl-phenyl)-NH-,
(2-CF₃-phenyl)-NH-, (2-CH₃-phenyl)-NH-,
10 (2-OMe-phenyl)-NH-, (2-CN-phenyl)-NH-,
(2-OCF₃-phenyl)-NH-, (2-SMe-phenyl)-NH-,
(3-F-phenyl)-NH-, (3-Cl-phenyl)-NH-,
(3-CF₃-phenyl)-NH-, (3-CH₃-phenyl)-NH-,
(3-OMe-phenyl)-NH-, (3-CN-phenyl)-NH-,
15 (3-OCF₃-phenyl)-NH-, (3-SMe-phenyl)-NH-,
(4-F-phenyl)-NH-, (4-Cl-phenyl)-NH-,
(4-CF₃-phenyl)-NH-, (4-CH₃-phenyl)-NH-,
(4-OMe-phenyl)-NH-, (4-CN-phenyl)-NH-,
(4-OCF₃-phenyl)-NH-, (4-SMe-phenyl)-NH-,
20 (2,3-diCl-phenyl)-NH-, (2,4-diCl-phenyl)-NH-,
(2,5-diCl-phenyl)-NH-, (2,6-diCl-phenyl)-NH-,
(3,4-diCl-phenyl)-NH-, (3,5-diCl-phenyl)-NH-,
(2,3-diF-phenyl)-NH-, (2,4-diF-phenyl)-NH-,
(2,5-diF-phenyl)-NH-, (2,6-diF-phenyl)-NH-,
25 (3,4-diF-phenyl)-NH-, (3,5-diF-phenyl)-NH-,
(2,3-diCH₃-phenyl)-NH-, (2,4-diCH₃-phenyl)-NH-,
(2,5-diCH₃-phenyl)-NH-, (2,6-diCH₃-phenyl)-NH-,
(3,4-diCH₃-phenyl)-NH-, (3,5-diCH₃-phenyl)-NH-,
(2,3-diCF₃-phenyl)-NH-, (2,4-diCF₃-phenyl)-NH-,
30 (2,5-diCF₃-phenyl)-NH-, (2,6-diCF₃-phenyl)-NH-,
(3,4-diCF₃-phenyl)-NH-, (3,5-diCF₃-phenyl)-NH-,
(2,3-diOMe-phenyl)-NH-, (2,4-diOMe-phenyl)-NH-,
(2,5-diOMe-phenyl)-NH-, (2,6-diOMe-phenyl)-NH-,
(3,4-diOMe-phenyl)-NH-, (3,5-diOMe-phenyl)-NH-,
35 (2-F-3-Cl-phenyl)-NH-, (2-F-4-Cl-phenyl)-NH-,

5 (2-F-5-Cl-phenyl)-NH-, (2-F-6-Cl-phenyl)-NH-,
(2-F-3-CH₃-phenyl)-NH-, (2-F-4-CH₃-phenyl)-NH-,
(2-F-5-CH₃-phenyl)-NH-, (2-F-6-CH₃-phenyl)-NH-,
(2-F-3-CF₃-phenyl)-NH-, (2-F-4-CF₃-phenyl)-NH-,
(2-F-5-CF₃-phenyl)-NH-, (2-F-6-CF₃-phenyl)-NH-,
(2-F-3-OMe-phenyl)-NH-, (2-F-4-OMe-phenyl)-NH-,
(2-F-5-OMe-phenyl)-NH-, (2-F-6-OMe-phenyl)-NH-,

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10 (2-Cl-3-F-phenyl)-NH-, (2-Cl-4-F-phenyl)-NH-,
(2-Cl-5-F-phenyl)-NH-, (2-Cl-6-F-phenyl)-NH-,
(2-Cl-3-CH₃-phenyl)-NH-, (2-Cl-4-CH₃-phenyl)-NH-,
(2-Cl-5-CH₃-phenyl)-NH-, (2-Cl-6-CH₃-phenyl)-NH-,
(2-Cl-3-CF₃-phenyl)-NH-, (2-Cl-4-CF₃-phenyl)-NH-,
(2-Cl-5-CF₃-phenyl)-NH-, (2-Cl-6-CF₃-phenyl)-NH-,
15 (2-Cl-3-OMe-phenyl)-NH-, (2-Cl-4-OMe-phenyl)-NH-,
(2-Cl-5-OMe-phenyl)-NH-, (2-Cl-6-OMe-phenyl)-NH-,

20 (2-CH₃-3-F-phenyl)-NH-, (2-CH₃-4-F-phenyl)-NH-,
(2-CH₃-5-F-phenyl)-NH-, (2-CH₃-6-F-phenyl)-NH-,
(2-CH₃-3-Cl-phenyl)-NH-, (2-CH₃-4-Cl-phenyl)-NH-,
(2-CH₃-5-Cl-phenyl)-NH-, (2-CH₃-6-Cl-phenyl)-NH-,
(2-CH₃-3-CF₃-phenyl)-NH-, (2-CH₃-4-CF₃-phenyl)-NH-,
(2-CH₃-5-CF₃-phenyl)-NH-, (2-CH₃-6-CF₃-phenyl)-NH-,
(2-CH₃-3-OMe-phenyl)-NH-, (2-CH₃-4-OMe-phenyl)-NH-,
25 (2-CH₃-5-OMe-phenyl)-NH-, (2-CH₃-6-OMe-phenyl)-NH-,

30 (2-CF₃-3-F-phenyl)-NH-, (2-CF₃-4-F-phenyl)-NH-,
(2-CF₃-5-F-phenyl)-NH-, (2-CF₃-6-F-phenyl)-NH-,
(2-CF₃-3-Cl-phenyl)-NH-, (2-CF₃-4-Cl-phenyl)-NH-,
(2-CF₃-5-Cl-phenyl)-NH-, (2-CF₃-6-Cl-phenyl)-NH-,
(2-CF₃-3-CH₃-phenyl)-NH-, (2-CF₃-4-CH₃-phenyl)-NH-,
(2-CH₃-5-CF₃-phenyl)-NH-, (2-CF₃-6-CH₃-phenyl)-NH-,
(2-CF₃-3-OMe-phenyl)-NH-, (2-CF₃-4-OMe-phenyl)-NH-,
(2-CF₃-5-OMe-phenyl)-NH-, (2-CF₃-6-OMe-phenyl)-NH-,

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(2-OMe-3-F-phenyl)-NH-, (2-OMe-4-F-phenyl)-NH-,
(2-OMe-5-F-phenyl)-NH-, (2-OMe-6-F-phenyl)-NH-,
(2-OMe-3-Cl-phenyl)-NH-, (2-OMe-4-Cl-phenyl)-NH-,
(2-OMe-5-Cl-phenyl)-NH-, (2-OMe-6-Cl-phenyl)-NH-,
5 (2-OMe-3-CH₃-phenyl)-NH-, (2-OMe-4-CH₃-phenyl)-NH-,
(2-OMe-5-CH₃-phenyl)-NH-, (2-OMe-6-CH₃-phenyl)-NH-,
(2-OMe-3-CF₃-phenyl)-NH-, (2-OMe-4-CF₃-phenyl)-NH-,
(2-OMe-5-CF₃-phenyl)-NH-, (2-OMe-6-CF₃-phenyl)-NH-

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10 (3-CF₃-4-Cl-phenyl)-NH-, (3-CF₃-4-C(O)CH₃-phenyl)-NH-,
(2,3,5-triCl-phenyl)-NH-, (3-CH₃-4-CO₂Me-phenyl)-NH-, and
(3-CHO-4-OMe-phenyl)-NH-;

R^B is selected from

15 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl,
2-cyanophenyl, 2-methylphenyl, 2-trifluoromethylphenyl,
2-methoxyphenyl, 2-trifluoromethoxyphenyl,

20 3-chlorophenyl, 3-fluorophenyl, 3-bromophenyl,
3-cyanophenyl, 3-methylphenyl, 3-ethylphenyl,
3-propylphenyl, 3-isopropylphenyl, 3-butylphenyl,
3-trifluoromethylphenyl, 3-methoxyphenyl,
3-isopropoxyphenyl, 3-trifluoromethoxyphenyl,
3-thiomethoxyphenyl,

25 4-chlorophenyl, 4-fluorophenyl, 4-bromophenyl,
4-cyanophenyl, 4-methylphenyl, 4-ethylphenyl,
4-propylphenyl, 4-isopropylphenyl, 4-butylphenyl,
4-trifluoromethylphenyl, 4-methoxyphenyl,
30 4-isopropoxyphenyl, 4-trifluoromethoxyphenyl,
4-thiomethoxyphenyl,

2,3-dichlorophenyl, 2,3-difluorophenyl,
2,3-dimethylphenyl, 2,3-ditrifluoromethylphenyl,
35 2,3-dimethoxyphenyl, 2,3-ditrifluoromethoxyphenyl,

2,4-dichlorophenyl, 2,4-difluorophenyl,

2,4-dimethylphenyl, 2,4-ditrifluoromethylphenyl,
 2,4-dimethoxyphenyl, 2,4-ditrifluoromethoxyphenyl,

 2,5-dichlorophenyl, 2,5-difluorophenyl,
 5 2,5-dimethylphenyl, 2,5-ditrifluoromethylphenyl,
 2,5-dimethoxyphenyl, 2,5-ditrifluoromethoxyphenyl,

 2,6-dichlorophenyl, 2,6-difluorophenyl,
 2,6-dimethylphenyl, 2,6-ditrifluoromethylphenyl,
 10 2,6-dimethoxyphenyl, 2,6-ditrifluoromethoxyphenyl,

 3,4-dichlorophenyl, 3,4-difluorophenyl,
 3,4-dimethylphenyl, 3,4-ditrifluoromethylphenyl,
 3,4-dimethoxyphenyl, 3,4-ditrifluoromethoxyphenyl,
 15
 2,4,6-trichlorophenyl, 2,4,6-trifluorophenyl,
 2,4,6-trimethylphenyl, 2,4,6-tritrifluoromethylphenyl,
 2,4,6-trimethoxyphenyl, 2,4,6-tritrifluoromethoxyphenyl,

 20 2-chloro-4-CF₃-phenyl, 2-fluoro-3-chloro-phenyl,
 2-chloro-4-CF₃-phenyl, 2-chloro-4-methoxy-phenyl,
 2-methoxy-4-isopropyl-phenyl, 2-CF₃-4-methoxy-phenyl,
 2-methyl-4-methoxy-5-fluoro-phenyl,
 2-methyl-4-methoxy-phenyl, 2-chloro-4-CF₃O-phenyl,
 25 2,4,5-trimethyl-phenyl, 2-methyl-4-chloro-phenyl,

 4-acetylphenyl, 3-acetamidophenyl, 2-naphthyl;

 2-Me-5-F-phenyl, 2-F-5-Me-phenyl, 2-MeO-5-F-phenyl,
 30 2-Me-3-Cl-phenyl, 3-NO₂-phenyl, 2-NO₂-phenyl,
 2-Cl-3-Me-phenyl, 2-Me-4-EtO-phenyl, 2-Me-4-F-phenyl,
 2-Cl-6-F-phenyl, 2-Cl-4-(CHF₂)O-phenyl,
 2,4-diMeO-6-F-phenyl, 2-CF₃-6-F-phenyl,
 2-MeS-phenyl, 2,6-diCl-4-MeO-phenyl,
 35 2,3,4-triF-phenyl, 2,6-diF-4-Cl-phenyl,
 2,3,4,6-tetraF-phenyl, 2,3,4,5,6-pentaF-phenyl,
 2-CF₃-4-EtO-phenyl, 2-CF₃-4-iPrO-phenyl,

2-CF₃-4-Cl-phenyl, 2-CF₃-4-F-phenyl, 2-Cl-4-EtO-phenyl,
 2-Cl-4-iPrO-phenyl, 2-Et-4-MeO-phenyl,
 2-CHO-4-MeO-phenyl, 2-CH₃CH(OH)-4-MeO-phenyl,
 2-CH₃CH(OH)-4-F-phenyl, 2-CH₃CH(OH)-4-Cl-phenyl,
 5 2-CH₃CH(OH)-4-Me-phenyl, 2-CH₃CH(OMe)-4-MeO-phenyl,
 2-CH₃C(=O)-4-MeO-phenyl, 2-CH₃C(=O)-4-F-phenyl,
 2-CH₃C(=O)-4-Cl-phenyl, 2-CH₃C(=O)-4-Me-phenyl,
 2-H₂C(OH)-4-MeO-phenyl, 2-H₂C(OMe)-4-MeO-phenyl,
 2-H₃CCH₂CH(OH)-4-MeO-phenyl, 2-H₃CCH₂C(=O)-4-MeO-phenyl,
 10 2-CH₃CO₂CH₂CH₂-4-MeO-phenyl,
 (Z)-2-HOCH₂CH=CH-4-MeO-phenyl,
 (E)-2-HOCH₂CH=CH-4-MeO-phenyl,
 (Z)-2-CH₃CO₂CH=CH-4-MeO-phenyl,
 15 (E)-2-CH₃CO₂CH=CH-4-MeO-phenyl,
 2-CH₃OCH₂CH₂-4-MeO-phenyl,
 2-F-4-MeO-phenyl, 2-Cl-4-F-phenyl,
 cyclohexyl, cyclopentyl, cyclohexylmethyl,
 20 benzyl, 2-F-benzyl, 3-F-benzyl, 4-F-benzyl,
 3-MeO-benzyl, 3-OH-benzyl, 2-MeO-benzyl,
 2-OH-benzyl, 2-MeOC(=O)-3-MeO-phenyl,
 2-Me-4-CN-phenyl, 2-Me-3-CN-phenyl,
 2-Me-4-MeS-phenyl, 2-CF₃-4-CN-phenyl,
 25 2-CHO-phenyl, 3-CHO-phenyl, 2-HOCH₂-phenyl,
 3-HOCH₂-phenyl, 3-MeOCH₂-phenyl,
 3-Me₂NCH₂-phenyl, 3-CN-4-F-phenyl,
 2-Me-4-H₂NCO-phenyl, 2-Me-4-MeOC(=O)-phenyl,
 3-H₂NCO-4-F-phenyl, 2-Me₂NCH₂-4-MeO-phenyl-,
 30 2-Me-4-CH₃C(=O)-phenyl,
 phenyl-NH-, (1-naphthyl)-NH-,
 (2-naphthyl)-NH-, (2-[1,1'-biphenyl])-NH-,
 (3-[1,1'-biphenyl])-NH-, (4-[1,1'-biphenyl])-NH-,
 35 (2-F-phenyl)-NH-, (2-Cl-phenyl)-NH-,

(2-CF₃-phenyl)-NH-, (2-CH₃-phenyl)-NH-,
 (2-OMe-phenyl)-NH-, (2-CN-phenyl)-NH-,
 (2-OCF₃-phenyl)-NH-, (2-SMe-phenyl)-NH-,
 (3-F-phenyl)-NH-, (3-Cl-phenyl)-NH-,
 5 (3-CF₃-phenyl)-NH-, (3-CH₃-phenyl)-NH-,
 (3-OMe-phenyl)-NH-, (3-CN-phenyl)-NH-,
 (3-OCF₃-phenyl)-NH-, (3-SMe-phenyl)-NH-,
 (4-F-phenyl)-NH-, (4-Cl-phenyl)-NH-,
 (4-CF₃-phenyl)-NH-, (4-CH₃-phenyl)-NH-,
 10 (4-OMe-phenyl)-NH-, (4-CN-phenyl)-NH-,
 (4-OCF₃-phenyl)-NH-, (4-SMe-phenyl)-NH-,
 (2,3-diCl-phenyl)-NH-, (2,4-diCl-phenyl)-NH-,
 (2,5-diCl-phenyl)-NH-, (2,6-diCl-phenyl)-NH-,
 (3,4-diCl-phenyl)-NH-, (3,5-diCl-phenyl)-NH-,
 15 (2,3-diF-phenyl)-NH-, (2,4-diF-phenyl)-NH-,
 (2,5-diF-phenyl)-NH-, (2,6-diF-phenyl)-NH-,
 (3,4-diF-phenyl)-NH-, (3,5-diF-phenyl)-NH-,
 (2,3-diCH₃-phenyl)-NH-, (2,4-diCH₃-phenyl)-NH-,
 (2,5-diCH₃-phenyl)-NH-, (2,6-diCH₃-phenyl)-NH-,
 20 (3,4-diCH₃-phenyl)-NH-, (3,5-diCH₃-phenyl)-NH-,
 (2,3-diCF₃-phenyl)-NH-, (2,4-diCF₃-phenyl)-NH-,
 (2,5-diCF₃-phenyl)-NH-, (2,6-diCF₃-phenyl)-NH-,
 (3,4-diCF₃-phenyl)-NH-, (3,5-diCF₃-phenyl)-NH-,
 (2,3-diOMe-phenyl)-NH-, (2,4-diOMe-phenyl)-NH-,
 25 (2,5-diOMe-phenyl)-NH-, (2,6-diOMe-phenyl)-NH-,
 (3,4-diOMe-phenyl)-NH-, (3,5-diOMe-phenyl)-NH-,

 (2-F-3-Cl-phenyl)-NH-, (2-F-4-Cl-phenyl)-NH-,
 (2-F-5-Cl-phenyl)-NH-, (2-F-6-Cl-phenyl)-NH-,
 30 (2-F-3-CH₃-phenyl)-NH-, (2-F-4-CH₃-phenyl)-NH-,
 (2-F-5-CH₃-phenyl)-NH-, (2-F-6-CH₃-phenyl)-NH-,
 (2-F-3-CF₃-phenyl)-NH-, (2-F-4-CF₃-phenyl)-NH-,
 (2-F-5-CF₃-phenyl)-NH-, (2-F-6-CF₃-phenyl)-NH-,
 (2-F-3-OMe-phenyl)-NH-, (2-F-4-OMe-phenyl)-NH-,
 35 (2-F-5-OMe-phenyl)-NH-, (2-F-6-OMe-phenyl)-NH-,

(2-Cl-3-F-phenyl)-NH-, (2-Cl-4-F-phenyl)-NH-,
(2-Cl-5-F-phenyl)-NH-, (2-Cl-6-F-phenyl)-NH-,
(2-Cl-3-CH₃-phenyl)-NH-, (2-Cl-4-CH₃-phenyl)-NH-,
(2-Cl-5-CH₃-phenyl)-NH-, (2-Cl-6-CH₃-phenyl)-NH-,
5 (2-Cl-3-CF₃-phenyl)-NH-, (2-Cl-4-CF₃-phenyl)-NH-,
(2-Cl-5-CF₃-phenyl)-NH-, (2-Cl-6-CF₃-phenyl)-NH-,
(2-Cl-3-OMe-phenyl)-NH-, (2-Cl-4-OMe-phenyl)-NH-,
(2-Cl-5-OMe-phenyl)-NH-, (2-Cl-6-OMe-phenyl)-NH-,

Sub
A4
10 (2-CH₃-3-F-phenyl)-NH-, (2-CH₃-4-F-phenyl)-NH-,
(2-CH₃-5-F-phenyl)-NH-, (2-CH₃-6-F-phenyl)-NH-,
(2-CH₃-3-Cl-phenyl)-NH-, (2-CH₃-4-Cl-phenyl)-NH-,
(2-CH₃-5-Cl-phenyl)-NH-, (2-CH₃-6-Cl-phenyl)-NH-,
(2-CH₃-3-CF₃-phenyl)-NH-, (2-CH₃-4-CF₃-phenyl)-NH-,
15 (2-CH₃-5-CF₃-phenyl)-NH-, (2-CH₃-6-CF₃-phenyl)-NH-,
(2-CH₃-3-OMe-phenyl)-NH-, (2-CH₃-4-OMe-phenyl)-NH-,
(2-CH₃-5-OMe-phenyl)-NH-, (2-CH₃-6-OMe-phenyl)-NH-,

20 (2-CF₃-3-F-phenyl)-NH-, (2-CF₃-4-F-phenyl)-NH-,
(2-CF₃-5-F-phenyl)-NH-, (2-CF₃-6-F-phenyl)-NH-,
(2-CF₃-3-Cl-phenyl)-NH-, (2-CF₃-4-Cl-phenyl)-NH-,
(2-CF₃-5-Cl-phenyl)-NH-, (2-CF₃-6-Cl-phenyl)-NH-,
(2-CF₃-3-CH₃-phenyl)-NH-, (2-CF₃-4-CH₃-phenyl)-NH-,
(2-CH₃-5-CF₃-phenyl)-NH-, (2-CF₃-6-CH₃-phenyl)-NH-,
25 (2-CF₃-3-OMe-phenyl)-NH-, (2-CF₃-4-OMe-phenyl)-NH-,
(2-CF₃-5-OMe-phenyl)-NH-, (2-CF₃-6-OMe-phenyl)-NH-,

30 (2-OMe-3-F-phenyl)-NH-, (2-OMe-4-F-phenyl)-NH-,
(2-OMe-5-F-phenyl)-NH-, (2-OMe-6-F-phenyl)-NH-,
(2-OMe-3-Cl-phenyl)-NH-, (2-OMe-4-Cl-phenyl)-NH-,
(2-OMe-5-Cl-phenyl)-NH-, (2-OMe-6-Cl-phenyl)-NH-,
(2-OMe-3-CH₃-phenyl)-NH-, (2-OMe-4-CH₃-phenyl)-NH-,
(2-OMe-5-CH₃-phenyl)-NH-, (2-OMe-6-CH₃-phenyl)-NH-,
(2-OMe-3-CF₃-phenyl)-NH-, (2-OMe-4-CF₃-phenyl)-NH-,
35 (2-OMe-5-CF₃-phenyl)-NH-, (2-OMe-6-CF₃-phenyl)-NH-

(3-CF₃-4-Cl-phenyl)-NH-, (3-CF₃-4-C(O)CH₃-phenyl)-NH-,
(2,3,5-triCl-phenyl)-NH-, (3-CH₃-4-CO₂Me-phenyl)-NH-, and
(3-CHO-4-OMe-phenyl)-NH-; and

5

R³¹, at each occurrence, is independently selected from
H, -OH, F, Cl, -CF₃, -OCF₃, methyl, methyl-C(=O)-,
methoxy, methylthio-, methyl-S(=O)-, and methyl-SO₂-.

Sub
A4
10 **4.** A pharmaceutical composition comprising a
pharmaceutically acceptable carrier and a therapeutically
effective amount of a compound of Claim 1, or a
pharmaceutically acceptable salt thereof.

15 **5.** A pharmaceutical composition comprising a
pharmaceutically acceptable carrier and a therapeutically
effective amount of a compound of Claim 2, or a
pharmaceutically acceptable salt thereof.

20 **6.** A pharmaceutical composition comprising a
pharmaceutically acceptable carrier and a therapeutically
effective amount of a compound of Claim 3, or a
pharmaceutically acceptable salt thereof.

25 **7.** A method for treating a human suffering from a disorder
associated with 5HT_{2C} receptor modulation comprising
administering to a patient in need thereof a
therapeutically effective amount of a compound of Claim 1,
or a pharmaceutically acceptable salt thereof.

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8. A method for treating a human suffering from a disorder
associated with 5HT_{2C} receptor modulation comprising
administering to a patient in need thereof a
therapeutically effective amount of a compound of Claim 2,
35 or a pharmaceutically acceptable salt thereof.

9. A method for treating a human suffering from a disorder associated with 5HT2C receptor modulation comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 3, or a pharmaceutically acceptable salt thereof.

10. A method of Claim 7 for treating a human suffering from a disorder associated with 5HT2C receptor modulation wherein the compound is a 5HT2C agonist.

11. A method of Claim 8 for treating a human suffering from a disorder associated with 5HT2C receptor modulation wherein the compound is a 5HT2C agonist.

12. A method of Claim 9 for treating a human suffering from a disorder associated with 5HT2C receptor modulation wherein the compound is a 5HT2C agonist.

13. A method for treating obesity comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1, or a pharmaceutically acceptable salt thereof.

14. A method for treating obesity comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 2, or a pharmaceutically acceptable salt thereof.

15. A method for treating obesity comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 3, or a pharmaceutically acceptable salt thereof.